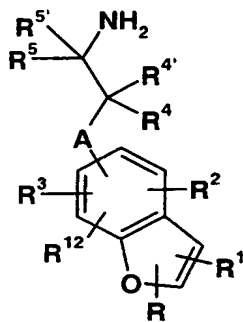


-123-

WE CLAIM:

1. The compounds of Formula I:



I

where:

A is  $-\text{CHR}^{13}-$  or a bond;

R is hydrogen, halo, cyano,  $-\text{C}(\text{O})\text{NR}^6\text{R}^7$ ,  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_4$  alkoxy, carbonyl, carboxy, or phenyl optionally substituted with one or two substituents selected from the group consisting of halo,  $\text{C}_1\text{-C}_4$  alkyl, and  $\text{C}_1\text{-C}_4$  alkoxy;

$\text{R}^1$  is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or  $\text{C}_1\text{-C}_6$  alkyl;

$\text{R}^2$  and  $\text{R}^3$  are independently hydrogen, halo, amino, nitro,  $\text{C}_1\text{-C}_4$  alkoxy, cyano, carboxamido,  $-\text{C}(\text{O})\text{NR}^8\text{R}^9$ ,  $-\text{NR}^{10}\text{R}^{11}$ ,  $-\text{NHC}(\text{O})\text{NHR}^{14}$ ,  $\text{C}_1\text{-C}_4$  alkoxy, carbonyl, trifluoromethyl, or  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with a substituent selected from the group consisting of  $\text{C}_1\text{-C}_4$  alkoxy, hydroxy, phenoxy, and phenyl;

$\text{R}^4$  and  $\text{R}^{4'}$  are independently hydrogen,  $\text{C}_1\text{-C}_4$  alkyl, or benzyl; or  $\text{R}^4$  and  $\text{R}^{4'}$  together with the carbon atom to which they are attached form a cyclopropyl moiety;

$\text{R}^5$  is hydrogen,  $\text{C}_1\text{-C}_4$  alkyl, or benzyl;

$\text{R}^{5'}$  is hydrogen, or  $\text{R}^5$  and  $\text{R}^{5'}$  together with the carbon atom to which they are attached form a cyclopropyl moiety;

$\text{R}^6$  and  $\text{R}^7$  are independently hydrogen or  $\text{C}_1\text{-C}_4$  alkyl;

-124-

$R^8$  is hydrogen or  $C_1$ - $C_4$  alkyl;

$R^9$  is  $C_1$ - $C_8$  alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo,  $C_1$ - $C_4$  alkyl, or  $C_1$ - $C_4$  alkoxy;

$R^{10}$  is hydrogen or  $C_1$ - $C_4$  alkyl;

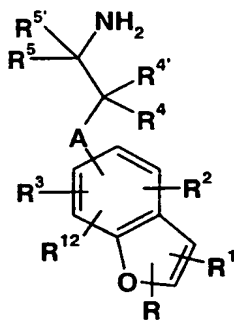
$R^{11}$  is  $C_1$ - $C_4$  alkyl or  $C_1$ - $C_4$  acyl;

$R^{12}$  is hydrogen, halo, or  $C_1$ - $C_4$  alkyl;

$R^{13}$  is hydrogen,  $C_1$ - $C_4$  alkyl, or benzyl;

$R^{14}$  is hydrogen,  $C_1$ - $C_4$  alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo,  $C_1$ - $C_4$  alkyl, and  $C_1$ - $C_4$  alkoxy; or pharmaceutically acceptable acid addition salts thereof.

2. A pharmaceutical formulation which comprises, in association with a pharmaceutically acceptable carrier, diluent or excipient, a compound of Formula I:



I

where:

A is  $-CHR^{13}-$  or a bond;

R is hydrogen, halo, cyano,  $-C(O)NR^6R^7$ ,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_4$  alkoxy, carbonyl, carboxy, or phenyl optionally substituted with one or two substituents selected from the group consisting of halo,  $C_1$ - $C_4$  alkyl, and  $C_1$ - $C_4$  alkoxy;

-125-

R<sup>1</sup> is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>2</sup> and R<sup>3</sup> are independently hydrogen, halo, amino, nitro, C<sub>1</sub>-C<sub>4</sub> alkoxy, cyano, carboxamido, -C(O)NR<sup>8</sup>R<sup>9</sup>, -NR<sup>10</sup>R<sup>11</sup>, -NHC(O)NHR<sup>14</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl, carboxyl, trifluoromethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, phenoxy, and phenyl;

R<sup>4</sup> and R<sup>4'</sup> are independently hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl; or R<sup>4</sup> and R<sup>4'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>5'</sup> is hydrogen, or R<sup>5</sup> and R<sup>5'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>6</sup> and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>10</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>1</sub>-C<sub>4</sub> acyl;

R<sup>12</sup> is hydrogen, halo, or C<sub>1</sub>-C<sub>4</sub> alkyl;

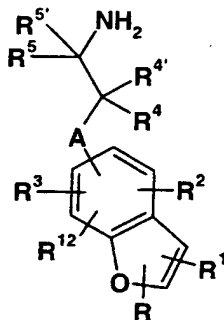
R<sup>13</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>14</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy; or pharmaceutically acceptable acid addition salts thereof.

3. A method for increasing activation of the 5-HT<sub>2C</sub> receptor in mammals, comprising administering to a mammal in

-126-

need of such activation a pharmaceutically effective amount of a compound of Formula I:



I

5 where:

A is  $-\text{CHR}^{13}-$  or a bond;

R is hydrogen, halo, cyano,  $-\text{C}(\text{O})\text{NR}^6\text{R}^7$ ,  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_4$  alkoxy, carbonyl, carboxy, or phenyl optionally substituted with one or two substituents selected from the group consisting of halo,  $\text{C}_1\text{-C}_4$  alkyl, and  $\text{C}_1\text{-C}_4$  alkoxy;

$\text{R}^1$  is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or  $\text{C}_1\text{-C}_6$  alkyl;

$\text{R}^2$  and  $\text{R}^3$  are independently hydrogen, halo, amino, nitro,  $\text{C}_1\text{-C}_4$  alkoxy, cyano, carboxamido,  $-\text{C}(\text{O})\text{NR}^8\text{R}^9$ ,  $-\text{NR}^{10}\text{R}^{11}$ ,  $-\text{NHC}(\text{O})\text{NHR}^{14}$ ,  $\text{C}_1\text{-C}_4$  alkoxy, carbonyl, trifluoromethyl, or  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with a substituent selected from the group consisting of  $\text{C}_1\text{-C}_4$  alkoxy, hydroxy, phenoxy, and phenyl;

$\text{R}^4$  and  $\text{R}^{4'}$  are independently hydrogen,  $\text{C}_1\text{-C}_4$  alkyl, or benzyl; or  $\text{R}^4$  and  $\text{R}^{4'}$  together with the carbon atom to which they are attached form a cyclopropyl moiety;

$\text{R}^5$  is hydrogen,  $\text{C}_1\text{-C}_4$  alkyl, or benzyl;

$\text{R}^{5'}$  is hydrogen, or  $\text{R}^5$  and  $\text{R}^{5'}$  together with the carbon atom to which they are attached form a cyclopropyl moiety;

$\text{R}^6$  and  $\text{R}^7$  are independently hydrogen or  $\text{C}_1\text{-C}_4$  alkyl;

$\text{R}^8$  is hydrogen or  $\text{C}_1\text{-C}_4$  alkyl;

-127-

$R^9$  is  $C_1$ - $C_8$  alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo,  $C_1$ - $C_4$  alkyl, or  $C_1$ - $C_4$  alkoxy;

$R^{10}$  is hydrogen or  $C_1$ - $C_4$  alkyl;

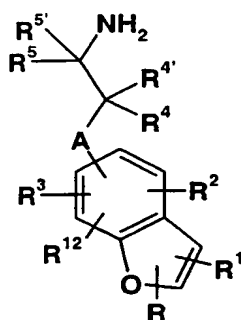
$R^{11}$  is  $C_1$ - $C_4$  alkyl or  $C_1$ - $C_4$  acyl;

$R^{12}$  is hydrogen, halo, or  $C_1$ - $C_4$  alkyl;

$R^{13}$  is hydrogen,  $C_1$ - $C_4$  alkyl, or benzyl;

$R^{14}$  is hydrogen,  $C_1$ - $C_4$  alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo,  $C_1$ - $C_4$  alkyl, and  $C_1$ - $C_4$  alkoxy; or pharmaceutically acceptable acid addition salts thereof.

4. A method for the treatment of obesity in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound of Formula I:



I

where:

A is  $-CHR^{13}-$  or a bond;

R is hydrogen, halo, cyano,  $-C(O)NR^6R^7$ ,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_4$  alkoxy, carbonyl, carboxy, or phenyl optionally substituted with one or two substituents selected from the group consisting of halo,  $C_1$ - $C_4$  alkyl, and  $C_1$ - $C_4$  alkoxy;

-128-

R<sup>1</sup> is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>2</sup> and R<sup>3</sup> are independently hydrogen, halo, amino, nitro, C<sub>1</sub>-C<sub>4</sub> alkoxy, cyano, carboxamido, -C(O)NR<sup>8</sup>R<sup>9</sup>, -NR<sup>10</sup>R<sup>11</sup>, -NHC(O)NHR<sup>14</sup>, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, carboxyl, trifluoromethyl, or C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with a substituent selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, phenoxy, and phenyl;

R<sup>4</sup> and R<sup>4'</sup> are independently hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl; or R<sup>4</sup> and R<sup>4'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>5'</sup> is hydrogen, or R<sup>5</sup> and R<sup>5'</sup> together with the carbon atom to which they are attached form a cyclopropyl moiety;

R<sup>6</sup> and R<sup>7</sup> are independently hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>10</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>1</sub>-C<sub>4</sub> acyl;

R<sup>12</sup> is hydrogen, halo, or C<sub>1</sub>-C<sub>4</sub> alkyl;

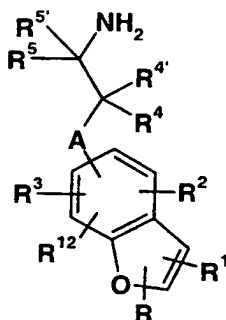
R<sup>13</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>14</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy; or pharmaceutically acceptable acid addition salts thereof.

5. A method for the treatment of depression in mammals, comprising administering to a mammal in need of

-129-

such treatment an effective amount of a compound of Formula I:



I

where:

A is  $-\text{CHR}^{13}-$  or a bond;

R is hydrogen, halo, cyano,  $-\text{C}(\text{O})\text{NR}^6\text{R}^7$ ,  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_4$  alkoxy carbonyl, carboxy, or phenyl optionally substituted with one or two substituents selected from the group consisting of halo,  $\text{C}_1\text{-C}_4$  alkyl, and  $\text{C}_1\text{-C}_4$  alkoxy;

$\text{R}^1$  is hydrogen, halo, cyano, carboxamido, formyl, trimethylsilyl, trifluoromethyl, pentafluoroethyl, or  $\text{C}_1\text{-C}_6$  alkyl;

$\text{R}^2$  and  $\text{R}^3$  are independently hydrogen, halo, amino, nitro,  $\text{C}_1\text{-C}_4$  alkoxy, cyano, carboxamido,  $-\text{C}(\text{O})\text{NR}^8\text{R}^9$ ,  $-\text{NR}^{10}\text{R}^{11}$ ,  $-\text{NHC}(\text{O})\text{NHR}^{14}$ ,  $\text{C}_1\text{-C}_4$  alkoxy carbonyl, carboxyl, trifluoromethyl, or  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with a substituent selected from the group consisting of  $\text{C}_1\text{-C}_4$  alkoxy, hydroxy, phenoxy, and phenyl;

$\text{R}^4$  and  $\text{R}^{4'}$  are independently hydrogen,  $\text{C}_1\text{-C}_4$  alkyl, or benzyl; or  $\text{R}^4$  and  $\text{R}^{4'}$  together with the carbon atom to which they are attached form a cyclopropyl moiety;

$\text{R}^5$  is hydrogen,  $\text{C}_1\text{-C}_4$  alkyl, or benzyl;

$\text{R}^{5'}$  is hydrogen, or  $\text{R}^5$  and  $\text{R}^{5'}$  together with the carbon atom to which they are attached form a cyclopropyl moiety;

$\text{R}^6$  and  $\text{R}^7$  are independently hydrogen or  $\text{C}_1\text{-C}_4$  alkyl;

$\text{R}^8$  is hydrogen or  $\text{C}_1\text{-C}_4$  alkyl;

-130-

R<sup>9</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl where the alkyl chain is optionally substituted with a substituent selected from the group consisting of carboxy, phenyl, or pyridyl, said phenyl or pyridyl substituent optionally substituted with one or two substituents selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxy;

R<sup>10</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>1</sub>-C<sub>4</sub> acyl;

R<sup>12</sup> is hydrogen, halo, or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>13</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl;

R<sup>14</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or phenyl optionally substituted with a substituent selected from the group consisting of halo, C<sub>1</sub>-C<sub>4</sub> alkyl, and C<sub>1</sub>-C<sub>4</sub> alkoxy; or pharmaceutically acceptable acid addition salts thereof.

6. A method of any of Claims 3, 4, or 5 where the mammal is human.